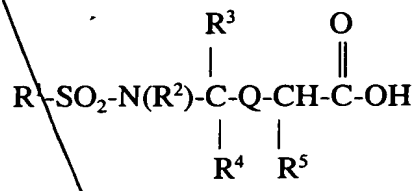
WHAT IS CLAIMED IS:

1. A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and, when R^3 does not form a heterocyclic group with R^2, then R^3 and R^4 together with the carbon atom to which they are attached can form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic group;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of hydrogen, hydroxyl, acylamino, alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cycloalkyl, substituted alkyl, substituted alkoxy, substituted aryl, substituted aryloxy, substituted aryloxyaryl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

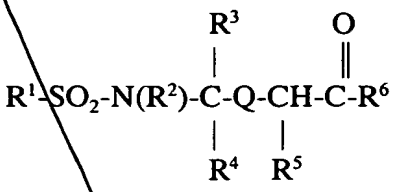
with the provisos that

A. when R^1 and R^2 are joined together with the SO_2 and nitrogen atom to which they are attached respectively to form a benzoisothiazolone heterocyclic ring, R^3 is methyl, R^4 is methyl and Q is -C(O)NH- then R^5 is not benzyl;

B. when R^1 is *p*-methylphenyl, R^2 is hydrogen, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclohexyl, Q is -C(O)NH-, then R^5 is not benzyl; and

C. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl, Q is $-C(O)N(CH_3)-$, then R^5 is not benzyl.

2. A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and, when R^3 does not form a heterocyclic group with R^2, then R^3 and R^4 together with the carbon atom to which they are attached can form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic group;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of hydrogen, hydroxyl, acylamino, alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl,

carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cycloalkyl, substituted alkyl, substituted alkoxy, substituted aryl, substituted aryloxy, substituted aryloxyaryl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

A. when R^1 is *o*-carboxymethylphenyl, R^2 is hydrogen, R^3 is methyl, R^4 is methyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not -O-benzyl;

B. when R^1 and R^2 are joined to form a benzoisothiazolone heterocyclic ring, R^3 is methyl, R^4 is methyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not -O-benzyl;

C. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl or cyclohexyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not ethoxy;

D. when R^1 is benzyl, R^2, R^3 and R^4 are methyl, R^5 is *p*-hydroxybenzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy;

E. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl or cyclohexyl, R^5 is *p*-[*N,N*-(dimethylamino)carbonyloxy]benzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy;

F. when R^1 is benzyl, R^2, R^3 and R^4 are methyl, R^5 is *p*-[*N,N*-(dimethylamino)carbonyloxy]benzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy; and

G. when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

3. The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of aryl, substituted aryl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl.

4. The compound according to Claims 1 or 2 wherein R^1 is selected from the group consisting of 4-methylphenyl, methyl, benzyl, *n*-butyl, 4-chlorophenyl, 1-naphthyl, 2-naphthyl, 4-methoxyphenyl, phenyl, 2,4,6-trimethylphenyl, 2-(methoxycarbonyl)phenyl, 2-carboxyphenyl, 3,5-dichlorophenyl, 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 3,4-dimethoxyphenyl, 4-($CH_3C(O)NH$-)phenyl, 4-trifluoromethoxyphenyl, 4-cyanophenyl, isopropyl, 3,5-di-(trifluoromethyl)phenyl, 4-*t*-butylphenyl, 4-*t*-butoxyphenyl, 4-nitrophenyl, 2-thienyl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, phenethyl, 1-N-methylimidazol-4-yl, 4-bromophenyl, 4-amidinophenyl, 4-methylamidinophenyl, 4-[$CH_3SC(=NH)$]phenyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-methyl-4-pyrazolyl, 2-thiazolyl, 5-methyl-1,3,4-thiadiazol-2-yl, 4-[$H_2NC(S)$]phenyl,

4-aminophenyl, 4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,5-difluorophenyl, pyridin-3-yl, pyrimidin-2-yl, and 4-(3′-dimethylamino-*n*-propoxy)-phenyl.

5. The compound according to Claims 1 or 2 wherein R^2 is selected from the group consisting of hydrogen, methyl, phenyl, benzyl, $-(CH_2)_2$-2-thienyl, and $-(CH_2)_2$-Φ.

6. A compound according to Claims 1 or 2 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent form a heterocyclic group or a substituted heterocyclic group.

7. The compound according to Claim 6 wherein R^2 and R^3 together with the nitrogen atom bound to R^2 substituent and the carbon bound to the R^3 substituent form a substituted heterocyclic ring.

8. The compound according to Claims 1 or 2 wherein R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 are joined to form a heterocyclic ring or a substituted heterocyclic ring.

9. The compound according to Claims 1 or 2 wherein R^3 is selected from the group consisting of hydrogen, methyl, phenyl, benzyl, diphenylmethyl, 2-carboxyethyl, 2-amidoethyl, *iso*-butyl, *t*-butyl, carboxymethyl, $-CH_2O$-benzyl and hydroxymethyl.

10. The compound according to Claim 1 or 2 wherein R^4 is selected from the group consisting of methyl, ethyl and phenyl.

11. The compound according to Claims 1 or 2 wherein R^3 and R^4 together with the carbon atom bound thereto form a cycloalkyl group, a

substituted cycloalkyl group, a heterocyclic group or a substituted heterocyclic group.

12. The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[ϕ-CH(CH$_3$)O-]benzyl, 4-[ϕ-CH(COOH)O-]-benzyl, 4-[BocNHCH$_2$C(O)NH-]benzyl, 4-chlorobenzyl, 4-[NH$_2$CH$_2$C(O)NH-]benzyl, 4-carboxybenzyl, 4-[CbzNHCH$_2$CH$_2$NH-]benzyl, 3-hydroxy-4-(ϕ-OC(O)NH-)benzyl, 4-[HOOCCH$_2$CH$_2$C(O)NH-]benzyl, benzyl, 4-[2′-carboxylphenoxy-]benzyl, 4-[ϕ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, 4-[2′-carboxyphenyl-]benzyl, ϕ-CH$_2$CH$_2$-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl,4-[(1′-cyclopropylpiperidin-4′-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH$_2$NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH$_3$)NHBoc]benzyl, 4-[-NHC(O)CH(CH$_2$ϕ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH$_3$C(O)NH-]benzyl, -CH$_2$-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH$_2$-, *t*-butyl-OC(O)CH$_2$CH$_2$-, H$_2$NC(O)CH$_2$-, H$_2$NC(O)CH$_2$CH$_2$-,BocNH-(CH$_2$)$_4$-, *t*-butyl-OC(O)-(CH$_2$)$_2$-, HOOCCH$_2$-, HOOC(CH$_2$)$_2$-, H$_2$N(CH$_2$)$_4$-, isopropyl, (1-naphthyl)-CH$_2$-, (2-naphthyl)-CH$_2$-, (2-thiophenyl)-CH$_2$-, (ϕ-CH$_2$-OC(O)NH-(CH$_2$)$_4$-, cyclohexyl-CH$_2$-, benzyloxy-CH$_2$-, HOCH$_2$-, 5-(3-N-benzyl)imidazolyl-CH$_2$-, 2-pyridyl-CH$_2$-, 3-pyridyl-CH$_2$-, 4-pyridyl-CH$_2$-, 5-(3-N-methyl)imidazolyl-CH$_2$-, N-benzylpiperid-4-yl-CH$_2$-, N-Boc-piperidin-4-yl-CH$_2$-, N-(phenyl-carbonyl)piperidin-4-yl-CH$_2$-, H$_3$CSCH$_2$CH$_2$-, 1-N-benzylimidazol-4-yl-CH$_2$-, *iso*-propyl-C(O)NH-(CH$_2$)$_4$-, *iso*-butyl-C(O)NH-(CH$_2$)$_4$-, phenyl-C(O)NH-(CH$_2$)$_4$-, benzyl-C(O)NH-(CH$_2$)$_4$-, allyl-C(O)NH-(CH$_2$)$_4$-, 4-(3-N-methylimidazolyl)-CH$_2$-, 4-imidazolyl, 4-[(CH$_3$)$_2$NCH$_2$CH$_2$CH$_2$-O-]benzyl, 4-[(benzyl)$_2$N-]-benzyl, 4-aminobenzyl, allyloxy-C(O)NH(CH$_2$)$_4$-, allyloxy-C(O)NH(CH$_2$)$_3$-, allyloxy-C(O)NH(CH$_2$)$_2$-, NH$_2$C(O)CH$_2$-, ϕ-CH=, 2-pyridyl-C(O)NH-(CH$_2$)$_4$-,

C2 cont

4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-N$(CH_3)CH_2$C(O)NH$(CH_2)_4$-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2-, 6-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 4-[2′-carboxymethylphenyl]-benzyl, 4-[-NHC(O)NH$CH_2CH_2CH_2$-ϕ]-benzyl, 4-[-NHC(O)NHCH_2CH_2-ϕ]-benzyl, -CH_2C(O)NH$(CH_2)_4$ϕ, 4-[ϕ$(CH_2)_4$O-]-benzyl, 4-[-C≡C-ϕ-4′ϕ]-benzyl, 4-[-C≡C-CH_2-O-S$(O)_2$-4′-CH_3-ϕ]-benzyl, 4-[-C≡C-CH_2NHC(O)NH_2]-benzyl, 4-[-C≡C-CH_2-O-4′-COOCH_2CH_3-ϕ]-benzyl, 4-[-C≡C-CH(NH_2)-cyclohexyl]-benzyl, -$(CH_2)_4$NHC(O)CH_2-3-indolyl, -$(CH_2)_4$NHC(O)CH_2CH_2-3-indolyl, -$(CH_2)_4$NHC(O)-3-(5-methoxyindolyl), -$(CH_2)_4$NHC(O)-3-(1-methylindolyl), -$(CH_2)_4$NHC(O)-4-(-$SO_2(CH_3)$-ϕ), -$(CH_2)_4$NHC(O)-4-(C(O)CH_3)-phenyl, -$(CH_2)_4$NHC(O)-4-fluorophenyl, -$(CH_2)_4$NHC(O)CH_2O-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl, 4-[-C≡C-CH_2-O-phenyl]-benzyl, 4-[-C≡C-CH_2OCH_3]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH_2-O-4′-(-C(O)OC_2H_5)phenyl]-benzyl, 4-[-C≡C-CH_2CH(C(O)O$CH_3)_2$]-benzyl, 4-[-C≡C-CH_2NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-CH_2CH(NHC(O)CH_3)C(O)OH]-benzyl, methyl, -CH_2C(O)NHCH(CH_3)ϕ, -CH_2C(O)NHCH_2-(4-dimethylamino)-ϕ, -CH_2C(O)NHCH_2-4-nitrophenyl, -CH_2CH_2C(O)N$(CH_3)CH_2$-ϕ, -CH_2CH_2C(O)NHCH_2CH_2-(N-methyl)-2-pyrrolyl, -CH_2CH_2C(O)NH$CH_2CH_2CH_2CH_3$, -CH_2CH_2C(O)NHCH_2CH_2-3-indolyl, -CH_2C(O)N$(CH_3)CH_2$phenyl, -CH_2C(O)NH$(CH_2)_2$-(N-methyl)-2-pyrrolyl, -CH_2C(O)NH$CH_2CH_2CH_2CH_3$, -CH_2C(O)NHCH_2CH_2-3-indolyl, -$(CH_2)_2$C(O)NHCH(CH_3)ϕ, -$(CH_2)_2$C(O)NHCH_2-4-dimethylaminophenyl, -$(CH_2)_2$C(O)NHCH_2-4-nitrophenyl, -CH_2C(O)NH-4-[-NHC(O)CH_3-phenyl], -CH_2C(O)NH-4-pyridyl, -CH_2C(O)NH-4-[dimethylaminophenyl], -CH_2C(O)NH-3-methoxyphenyl, -CH_2CH_2C(O)NH-4-chlorophenyl,

C2 cont

$-CH_2CH_2C(O)NH$-2-pyridyl, $-CH_2CH_2C(O)NH$-4-methoxyphenyl, $-CH_2CH_2C(O)NH$-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_3NHC(NH)NH-SO_2$-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, $-(CH_2)_4NHC(O)NHCH_2CH_3$, $-(CH_2)_4NHC(O)NH$-phenyl, $-(CH_2)_4NHC(O)NH$-4-methoxyphenyl, 4-[4′-pyridyl-C(O)NH-]-benzyl, 4-[3′-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)CH_2NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)-(2′,3′-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2′,3′-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH_2CH_2-1′-(4′-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH_2CH_2-(1′-piperidinyl)-benzyl, 4-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1′-piperidinyl)]-benzyl-, $-CH_2$-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3′-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-$OCH_2CH_2N(\phi)CH_2CH_3$]-benzyl, 4-[-OCH_2-3′-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3′-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl, 4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH_2N(benzyl)_2$]-benzyl, $-CH_2$-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)NHCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[-NHC(O)-4′-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4$NHCbz]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-1′-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1′-(4′-methyl)-piperazinyl]-benzyl, $-(CH_2)_4NH$-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)NH$CH_2CH_2CH_2$-(N-morpholino)]-benzyl,

4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4′-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5′-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-$NHC(O)CH_2CH(C(O)OCH_2\phi)$-NHCbz]-benzyl, 4-[-$NHS(O)_2CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4′-yl]-benzyl, 4-[-C(=NH)NH_2]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydroisoquinolin-2′-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_3$-N-morpholino]-benzyl, 4-[-NHC(O)$CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, 4-[-$C(O)NH_2$]-benzyl, 4-[-NHC(O)NH-3′-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3′-yl]-benzyl, 4-[-$OCH_2C(O)NH$-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2′-(4′,5′-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2′-pyrrolidinyl-N-SO_2-4′-methylphenyl]-benzyl, 4-[-$NHC(O)NHCH_2CH_2CH_3$]-benzyl, 4-aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4′-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3′-yl]-benzyl, 4-[-NHC(O)-pyridin-2′-yl]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4′-CH3-ϕ-SO_2)-L-pyrrolidin-2′-yl)]-benzyl, 4-[-NHC(O)$NHCH_2CH_2$-ϕ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl, 4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-CH=CH_2]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(4′-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1′-methylpiperidin-4′-yl-]benzyl, 4-(dimethylamino)benzyl,

C² Cont

4-[-NHC(O)-(1′-N-Boc)-piperidin-2′-yl]-benzyl, 3-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [BocNHCH_2C(O)NH-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2$N$CH_2CH_2CH_2$NHC(S)NH-]benzyl, 4-[(1′-Boc-4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[ϕ$CH_2CH_2CH_2$NHC(S)NH-]benzyl, 4-[(perhydroindolin-2′-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1′-Boc-perhydroindolin-2′-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(ϕNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-(ϕCH_2NHC(S)NH)benzyl, 3-[(1′-Boc-piperidin-2′-yl)C(O)NH-]benzyl, 3-[piperidin-2′-yl-C(O)NH-]benzyl, 4-[(3′-Boc-thiazolidin-4′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-NHC(S)NH)benzyl, 4-(CH_3-NHC(S)NH)benzyl-, 4-(H_2N$CH_2CH_2CH_2$C(O)NH)benzyl, 4-(BocHN$CH_2CH_2CH_2$C(O)NH)benzyl, 4-(pyridin-4′-yl-CH_2NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ϕCH_2OCH_2(BocHN)CHC(O)NH]benzyl, 4-[(piperidin-4′-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-C(O)NH)butyl, 4-(pyridin-4′-yl-C(O)NH)butyl, 4-(pyridin-3′-yl-C(O)NH)benzyl, 4-[CH_3NH$CH_2CH_2CH_2$C(O)NH-]benzyl, 4-[CH_3N(Boc)$CH_2CH_2CH_2$C(O)NH-]benzyl, 4-(aminomethyl)benzyl, 4-[ϕCH_2OCH_2(H_2N)CHC(O)NH]benzyl, 4-[(1′,4′-di(Boc)piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2′-yl)C(O)NH-]butyl, 4-[-NHC(O)-4′-piperidinyl]butyl, 4-[-NHC(O)-1′-N-Boc-piperidin-2′-yl]-benzyl, 4-[-NHC(O)-piperidin-2′-yl]-benzyl, 4-[(1′-N-Boc-2′,3′-dihydroindolin-2′-yl)-C(O)NH]-benzyl, 4-(pyridin-3′-yl-CH_2NH)benzyl, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(piperidin-1′-yl)C(O)CH_2-O-]benzyl, 4-[$((CH_3)_2CH)_2$NC(O)CH_2-O-]benzyl, 4-[HO(O)C(Cbz-NH)CHCH_2CH_2-C(O)NH-]benzyl, 4-[ϕCH_2O(O)C(Cbz-NH)CHCH_2CH_2-C(O)NH-]benzyl,

C2 cont

4-[-NHC(O)-2′-methoxyphenyl]-benzyl, 4-[(pyrazin-2′-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH$_2$)CHCH$_2$CH$_2$-C(O)NH-]benzyl, 4-(2′-formyl-1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH$_2$NH-)benzyl, *N*-Cbz-NHCH$_2$-, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[CH$_3$(*N*-Boc)NCH$_2$C(O)NH-]benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-3′-yl]-benzyl, 4-[CH$_3$NHCH$_2$C(O)NH-]benzyl, (CH$_3$)$_2$NC(O)CH$_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH$_2$NH-)benzyl, 4-[(CH$_3$)$_2$NHCH$_2$C(O)NH-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[(CH$_3$)$_2$NC(O)NH-]benzyl, 4-[CH$_3$OC(O)NH-]benzyl, 4-[(CH$_3$)$_2$NC(O)O-]benzyl, 4-[(CH$_3$)$_2$NC(O)N(CH$_3$)-]benzyl, 4-[CH$_3$OC(O)N(CH$_3$)-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1′-methoxycarbonylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)NH-]benzyl, 4-[(piperidin-4′-yl)C(O)O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)-O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)NH-]benzyl, 3-[(CH$_3$)$_2$NC(O)O-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[(CH$_3$)$_3$CC(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)NH-]benzyl, 4-[(CH$_3$CH$_2$)$_2$NC(O)NH-]benzyl, 4-[-C(O)NH-(4′-piperidinyl)]benzyl, 4-[(2′-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2′-methylphenyl)C(O)NH-]benzyl, 4-[(CH$_3$)$_2$NS(O)$_2$O-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1′-yl]benzyl, 4-[(thiomorpholin-4′-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)O-]benzyl, 3-nitro-4-(CH$_3$OC(O)CH$_2$O-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[(CH$_3$)$_2$NS(O)$_2$NH-]benzyl, 4-[(CH$_3$)$_2$NS(O)$_2$N(CH$_3$)-]benzyl, 4-[(thiomorpholin-4′-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)O-]benzyl,

4-[(piperidin-1′-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[(2′-methylpyrrolidin-1′-yl)-, (pyridin-4-yl)methyl-, 4-[(piperazin-4′-yl)-C(O)O-]benzyl, 4-[(1′-Boc-piperazin-4′-yl)-C(O)O-]benzyl, 4-[(4′-acetylpiperazin-1′-yl)C(O)O-]benzyl, *p*-[(4′-methanesulfonylpiperazin-1′-yl)-benzyl, 3-nitro-4-[(morpholin-4′-yl)-C(O)O-]benzyl, 4-{[$(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-(imidazolid-2′-one-1′-yl)benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, 4-(3′-formylimidazolid-2′-one-1′-yl)benzyl, 4-[NHC(O)CH($CH_2CH_2CH_2CH_2NH_2$)NHBoc]-benzyl, [2′-[4″-hydroxy-4″-(3′′′-methoxythien-2′′′-yl)piperidin-2″-yl]ethoxy]benzyl, and *p*-[$(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

13. The compound according to Claim 2 wherein R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *iso*-propoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy, *neo*-pentoxy, 2-α-*iso*-propyl-4-β-methylcyclohexoxy, 2-β-isopropyl-4-β-methylcyclohexoxy, -NH_2, benzyloxy, -$NHCH_2COOH$, -$NHCH_2CH_2COOH$, -NH-adamantyl, -$NHCH_2CH_2COOCH_2CH_3$, -$NHSO_2$-*p*-CH_3-ϕ, -$NHOR^8$ where R^8 is hydrogen, methyl, *iso*-propyl or benzyl, O-(N-succinimidyl), -O-cholest-5-en-3-β-yl, -OCH_2-$OC(O)C(CH_3)_3$, -$O(CH_2)_zNHC(O)W$ where *z* is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR″C(O)-R′ where R′ is aryl, heteroaryl or heterocyclic and R″ is hydrogen or -$CH_2C(O)OCH_2CH_3$.

14. A compound selected from the group consisting of:

N-(toluene-4-sulfonyl)cycloleucyl-L-phenylalanine;

N-(2-methoxycarbonylbenzenesulfonyl)-α-methylalanyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methyl-α-methylalanyl-L-(4-nitro)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-*N*-methyl-α-methylalanyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methyl-α-methylalanyl-L-4-(*N*-*tert*-butyloxycarbonylisonipecotamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-phenylalanine;

N-(benzenesulfonyl)-*N*-methyl-α-methylalanyl-L-phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-*N*-methyl-α-methylalanyl-L-4-(isonipecotamido)phenylalanine methyl ester;

N-(benzenesulfonyl)-*N*-methyl-α-methylalanyl-L-phenylalanine;

[1-(*N*-(toluene-4-sulfonyl)-*N*-methylamino)cyclohexyl-1-carbonyl]-L-phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(isonicotinamido)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(2-bromobenzamido)phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(*N*-*tert*-butyloxycarbonylisonipecotamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(2-*tert*-butyloxycarbony-1,2,3,4-tetrahydroisoquinoline-1-carboxamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(2-

bromobenzamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

[1-(*N*-(toluene-4-sulfonyl)-*N*-methylamino)cyclobutyl-1-carbonyl]-L-phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

[1-(*N*-(toluene-4-sulfonyl)-*N*-methylamino)cyclobutyl-1-carbonyl]-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine methyl ester;

[1-(*N*-(toluene-4-sulfonyl)-*N*-methylamino)cyclopropyl-1-carbonyl]-L-phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(isonipecotamido)phenylalanine;

[1-(*N*-(toluene-4-sulfonyl)-*N*-methylamino)cyclopropyl-1-carbonyl]-L-phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine methyl ester;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(isonicotinamido)phenylalanine ethyl ester;

N-(α-toluenesulfonyl)cycloleucyl-L-phenylalanine;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(2-bromobenzamido)phenylalanine ethyl ester;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(isonicotinamido)phenylalanine;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-D-phenylalanine methyl ester;

N-(α-toluenesulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-tyrosine *tert*-butyl ester;

N-(α-toluenesulfonyl)-*N*-methyl-α-methylalanyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-D-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-*N*-methylcycloleucyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine 1-(trimethyacetoxy)methyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy] phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

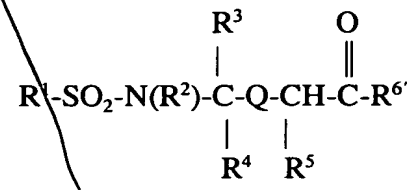
N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine

and pharmaceutically acceptable salts thereof as well as any of the ester compounds recited above wherein one ester is replaced with another ester selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.

15. A method for binding VLA-4 in a biological sample which method comprises contacting the biological sample with a compound of Claims 1 or 2 under conditions wherein said compound binds to VLA-4.

16. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R^1 and R^2 together with the nitrogen atom bound to R^2 and the SO_2 group bound to R^1 can form a heterocyclic or a substituted heterocyclic group;

R^3 is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R^2 does not form a heterocyclic group with R^1, R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 can form a heterocyclic or a substituted heterocyclic group;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and, when R^3 does not form a heterocyclic group with R^2, then R^3 and R^4 together with the carbon atom to which they are attached can form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic group;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of hydrogen, hydroxyl, acylamino, alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxyl,

carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cycloalkyl, substituted alkyl, substituted alkoxy, substituted aryl, substituted aryloxy, substituted aryloxyaryl, substituted cycloalkyl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof

with the following provisos

A. when R^1 is *o*-carboxymethylphenyl, R^2 is hydrogen, R^3 is methyl, R^4 is methyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not -O-benzyl;

B. when R^1 and R^2 are joined to form a benzoisothiazolone heterocyclic ring, R^3 is methyl, R^4 is methyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not -O-benzyl;

C. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl or cyclohexyl, R^5 is benzyl and Q is -C(O)NH-, then R^6 is not ethoxy;

D. when R^1 is benzyl, R^2, R^3 and R^4 are methyl, R^5 is *p*-hydroxybenzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy;

E. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl or cyclohexyl, R^5 is *p*-[*N,N*-(dimethylamino)carbonyloxy]benzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy;

F. when R^1 is benzyl, R^2, R^3 and R^4 are methyl, R^5 is *p*-[*N,N*-(dimethylamino)carbonyloxy]benzyl and Q is -C(O)NH-, then R^6 is not *t*-butoxy; and

G. when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy;

H. when R^1 and R^2 are joined together with the SO_2 and nitrogen atom to which they are attached respectively to form a benzoisothiazolone heterocyclic ring, R^3 is methyl, R^4 is methyl, R^6 is hydroxyl, and Q is -C(O)NH- then R^5 is not benzyl;

I. when R^1 is *p*-methylphenyl, R^2 is hydrogen, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclohexyl, R^6 is hydroxyl, Q is -C(O)NH-, then R^5 is not benzyl; and

J. when R^1 is *p*-methylphenyl, R^2 is methyl, R^3 and R^4 are joined together with the carbon atom to which they are joined to form cyclopentyl, R^6 is hydroxyl, Q is -C(O)N(CH_3)-, then R^5 is not benzyl.

17. A method for the treatment of an inflammatory disease in a patient mediated by VLA-4 which methods comprise administering to the patient the pharmaceutical composition of Claim 16.

18. The method according to Claim 17 wherein said inflammatory disease is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes (including acute juvenile onset diabetes), inflammatory bowel disease (including ulcerative colitis and Crohn's disease), multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, and other cerebral traumas, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury such as that which occurs in adult respiratory distress syndrome.